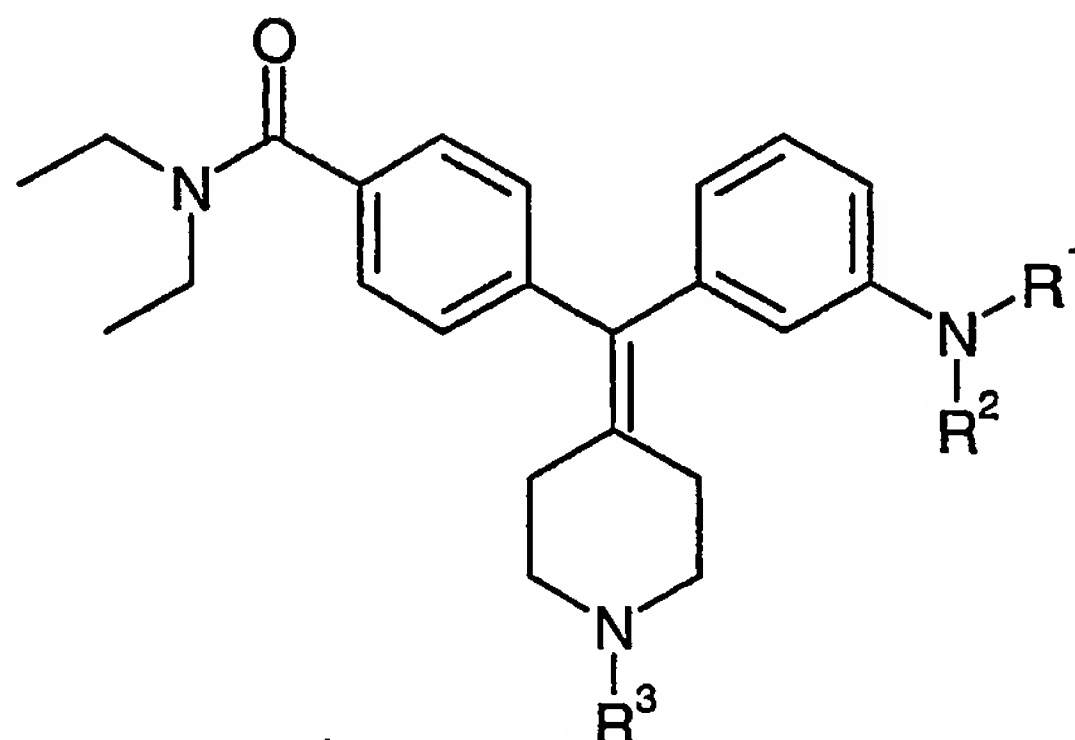


**What is claimed is :**

1. A compound of formula I, or a pharmaceutically acceptable salt thereof:

**I**

wherein

- $R^1$  is selected from  $C_{3-6}$ alkyl,  $C_{6-10}$ aryl,  $C_{2-9}$ heteroaryl,  $C_{6-10}$ aryl- $C_{1-4}$ alkyl,  $C_{2-9}$ heteroaryl- $C_{1-4}$ alkyl,  $C_{3-10}$ cycloalkyl,  $C_{3-10}$ cycloalkyl- $C_{1-4}$ alkyl,  $R^8-C(=O)-$ ,  $R^8-S(=O)_2-$ ,  $R^8-S(=O)-$ ,  $R^8-NHC(=O)-$ ,  $R^8-C(=S)-$  and  $R^8-NH-C(=S)-$ , wherein  $R^8$  is selected from  $C_{3-6}$ alkyl,  $C_{6-10}$ aryl,  $C_{2-9}$ heteroaryl,  $C_{6-10}$ aryl- $C_{1-4}$ alkyl,  $C_{2-9}$ heteroaryl- $C_{1-4}$ alkyl,  $C_{3-10}$ cycloalkyl, and  $C_{3-10}$ cycloalkyl- $C_{1-4}$ alkyl, wherein said  $C_{3-6}$ alkyl,  $C_{6-10}$ aryl,  $C_{2-9}$ heteroaryl,  $C_{6-10}$ aryl- $C_{1-4}$ alkyl,  $C_{2-9}$ heteroaryl- $C_{1-4}$ alkyl,  $C_{3-10}$ cycloalkyl, and  $C_{3-10}$ cycloalkyl- $C_{1-4}$ alkyl used in defining  $R^1$  and  $R^8$  are optionally substituted with one or more groups selected from -R, -NO<sub>2</sub>, -OR, -Cl, -Br, -I, -F, -CF<sub>3</sub>, -C(=O)R, -C(=O)OH, -NH<sub>2</sub>, -SH, -NHR, -NR<sub>2</sub>, -SR, -SO<sub>3</sub>H, -SO<sub>2</sub>R, -S(=O)R, -CN, -OH, -C(=O)OR, -C(=O)NR<sub>2</sub>, -NRC(=O)R, and -NRC(=O)-OR, wherein R is, independently, selected from -H,  $C_{1-6}$ alkyl and phenyl;

- $R^2$  is selected from -H and  $C_{1-6}$ alkyl optionally substituted with one or more groups selected from halogen, -CF<sub>3</sub>, -OH,  $C_{1-3}$ alkoxy, and halogen, or  $R^1$  and  $R^2$  are  $C_{1-3}$ alkylene that together form a portion of a ring; and

- $R^3$  is selected from -H,  $C_{1-6}$ alkyl-O-C(=O)-,  $C_{1-6}$ alkyl,  $C_{3-6}$ cycloalkyl, and  $C_{3-6}$ cycloalkyl- $C_{1-4}$ alkyl, wherein said  $C_{1-6}$ alkyl-O-C(=O)-,  $C_{1-6}$ alkyl,  $C_{3-6}$ cycloalkyl, and  $C_{3-6}$ cycloalkyl- $C_{1-4}$ alkyl are optionally substituted with one or more groups selected from  $C_{1-6}$ alkyl, halogenated  $C_{1-6}$ alkyl, -NO<sub>2</sub>, -CF<sub>3</sub>,  $C_{1-6}$ alkoxy and halogen.

2. A compound according to claim 1, wherein  
R<sup>1</sup> is selected from C<sub>3-6</sub>alkyl, C<sub>6-10</sub>aryl, C<sub>2-6</sub>heteroaryl, C<sub>6-10</sub>aryl-C<sub>1-4</sub>alkyl,  
C<sub>2-6</sub>heteroaryl-C<sub>1-4</sub>alkyl, C<sub>3-10</sub>cycloalkyl, C<sub>3-10</sub>cycloalkyl-C<sub>1-4</sub>alkyl, wherein said  
5 C<sub>3-6</sub>alkyl, C<sub>6-10</sub>aryl, C<sub>2-6</sub>heteroaryl, C<sub>6-10</sub>aryl-C<sub>1-4</sub>alkyl, C<sub>2-6</sub>heteroaryl-C<sub>1-4</sub>alkyl,  
C<sub>3-10</sub>cycloalkyl, C<sub>3-10</sub>cycloalkyl-C<sub>1-4</sub>alkyl are optionally substituted with one or more  
groups selected from C<sub>1-4</sub>alkyl, halogen, -CF<sub>3</sub>, -OH, C<sub>1-3</sub>alkoxy, phenoxy, and  
halogen;  
R<sup>2</sup> is selected from -H and C<sub>1-3</sub>alkyl; and  
10 R<sup>3</sup> is selected from -H and C<sub>1-6</sub>alkyl-O-C(=O)-.
3. A compound according to claim 2,  
wherein R<sup>1</sup> is R<sup>9</sup>-CH<sub>2</sub>-, wherein R<sup>9</sup> is selected from phenyl, pyridyl, thienyl,  
furyl, imidazolyl, triazolyl, pyrrolyl, thiazolyl, N-oxido-pyridyl, benzyl,  
15 pyridylmethyl, thienylmethyl, furylmethyl, imidazolylmethyl, triazolylmethyl,  
pyrrolylmethyl, thiazolylmethyl and N-oxido-pyridylmethyl, optionally substituted  
with one or more groups selected from C<sub>1-4</sub>alkyl, halogen, -CF<sub>3</sub>, -OH, C<sub>1-3</sub>alkoxy,  
phenoxy and halogen; and  
R<sup>2</sup> and R<sup>3</sup> are hydrogen.  
20
4. A compound according to claim 3,  
wherein R<sup>9</sup> is selected from benzyl, phenyl, pyridyl, thienyl, furyl, imidazolyl,  
pyrrolyl and thiazolyl, optionally substituted with one or more groups selected from  
C<sub>1-4</sub>alkyl, halogen, -CF<sub>3</sub>, -OH, C<sub>1-3</sub>alkoxy, phenoxy, and halogen.  
25
5. A compound according to claim 4, wherein  
wherein R<sup>9</sup> is selected from benzyl, phenyl, pyridyl, thienyl, furyl, imidazolyl,  
pyrrolyl and thiazolyl.
- 30 6. A compound according to claim 1, wherein  
R<sup>1</sup> is selected from C<sub>3-6</sub>alkyl, C<sub>3-10</sub>cycloalkyl, and C<sub>3-10</sub>cycloalkyl-C<sub>1-4</sub>alkyl,  
wherein said C<sub>3-6</sub>alkyl, C<sub>3-10</sub>cycloalkyl, and C<sub>3-10</sub>cycloalkyl-C<sub>1-4</sub>alkyl are optionally

substituted with one or more groups selected from C<sub>1-4</sub>alkyl, halogen, -CF<sub>3</sub>, -OH, C<sub>1-3</sub>alkoxy, phenoxy, and halogen;

R<sup>2</sup> is -H or C<sub>1-3</sub>alkyl; and

R<sup>3</sup> is -H, C<sub>1-6</sub>alkyl, C<sub>3-6</sub>cycloalkyl, and C<sub>3-6</sub>cycloalkyl-C<sub>1-4</sub>alkyl, wherein said  
5 C<sub>1-6</sub>alkyl, C<sub>3-6</sub>cycloalkyl, C<sub>3-6</sub>cycloalkyl-C<sub>1-4</sub>alkyl are optionally substituted with one or more groups selected from C<sub>1-4</sub>alkyl, halogen, -CF<sub>3</sub>, -OH, C<sub>1-3</sub>alkoxy, phenoxy, and halogen.

7. A compound according to claim 6, wherein

10 R<sup>1</sup> is selected from 1-propyl, 2-propyl, 1-butyl, 2-butyl, t-butyl, 2-methyl-1-propyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, and cyclononyl;

R<sup>2</sup> is selected from -H, methyl, ethyl, 1-propyl and 2-propyl; and

R<sup>3</sup> is selected from -H, methyl, ethyl, allyl, 3,3-dimethyl-allyl, cyclopropylmethyl, 2-methoxy-ethyl, and 3-methoxy-1-propyl.

15

8. A compound according to claim 1, wherein

R<sup>1</sup> is selected from R<sup>8</sup>-C(=O)-, R<sup>8</sup>-S(=O)<sub>2</sub>-, R<sup>8</sup>-S(=O)-, R<sup>8</sup>-NHC(=O)-, R<sup>8</sup>-C(=S)- and R<sup>8</sup>-NH-C(=S)-, wherein R<sup>8</sup> is selected from C<sub>3-6</sub>alkyl, C<sub>6-10</sub>aryl, C<sub>2-6</sub>heteroaryl, C<sub>6-10</sub>aryl-C<sub>1-4</sub>alkyl, C<sub>2-6</sub>heteroaryl-C<sub>1-4</sub>alkyl, C<sub>3-10</sub>cycloalkyl, and C<sub>3-10</sub>cycloalkyl-C<sub>1-4</sub>alkyl; wherein said C<sub>3-6</sub>alkyl, C<sub>6-10</sub>aryl, C<sub>2-6</sub>heteroaryl, C<sub>6-10</sub>aryl-C<sub>1-4</sub>alkyl, C<sub>2-6</sub>heteroaryl-C<sub>1-4</sub>alkyl, C<sub>3-10</sub>cycloalkyl, and C<sub>3-10</sub>cycloalkyl-C<sub>1-4</sub>alkyl are  
20 optionally substituted with C<sub>1-4</sub>alkyl, halogen, -CF<sub>3</sub>, -OH, C<sub>1-3</sub>alkoxy, phenoxy, and halogen;

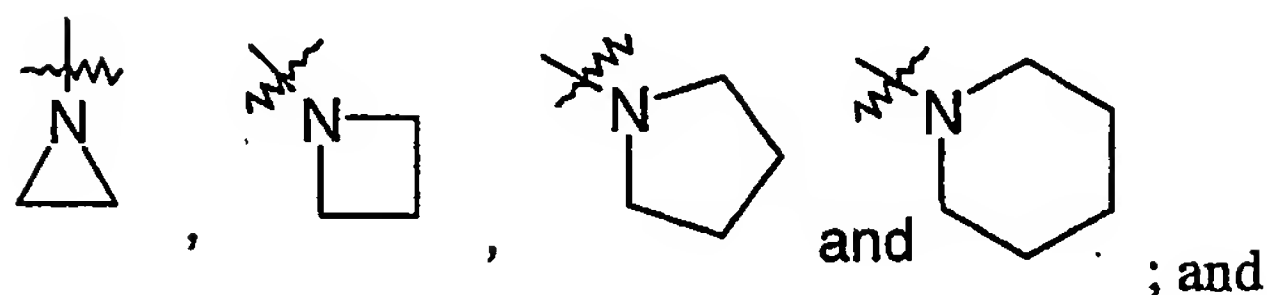
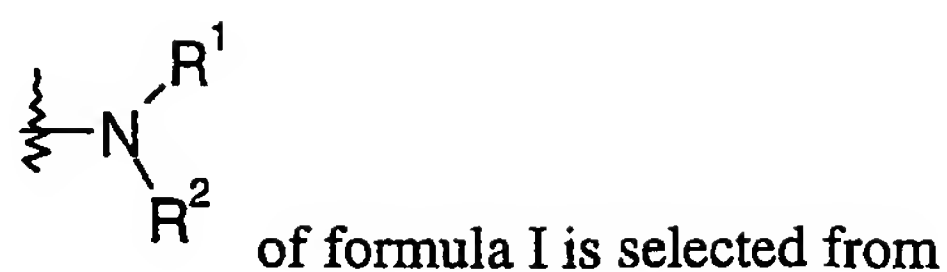
R<sup>2</sup> is -H; and

25 R<sup>3</sup> is selected from -H and C<sub>1-6</sub>alkyl-O-C(=O)-.

9. A compound according to claim 8, wherein

R<sup>8</sup> is selected from phenyl, benzyl, phenethyl and cyclohexyl, wherein said phenyl, benzyl, phenethyl and cyclohexyl are optionally substituted with one or more  
30 groups selected from methyl, methoxy and halogen.

10. A compound according to claim 1, wherein



$R^3$  is selected from  $-H$  and  $C_{1-6}alkyl-O-C(=O)-$ .

- 5 11. A compound selected from:
- 1) 4-[[3-(benzylamino)phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide,
  - 2) N,N-diethyl-4-[[3-[(3-furylmethyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide,
  - 3) N,N-diethyl-4-(piperidin-4-ylidene{3-[(thien-3-ylmethyl)amino]phenyl}methyl)benzamide,
  - 10 4) N,N-diethyl-4-[[3-[(2-phenylethyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide,
  - 5) 4-[[3-[(4-chlorobenzyl)amino]phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide,
  - 15 6) N,N-diethyl-4-[piperidin-4-ylidene(3-[[3-(trifluoromethyl)benzyl]amino]phenyl)methyl]benzamide,
  - 7) 4-[[3-[(2-chlorobenzyl)amino]phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide,
  - 8) N,N-diethyl-4-[piperidin-4-ylidene(3-[[4-(trifluoromethyl)benzyl]amino]phenyl)methyl]benzamide,
  - 20 9) N,N-diethyl-4-[[3-[(2-furylmethyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide,
  - 10) N,N-diethyl-4-(piperidin-4-ylidene{3-[(thien-2-ylmethyl)amino]phenyl}methyl)benzamide,
  - 25 11) 4-[[3-[(cyclohexylmethyl)amino]phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide,
  - 12) N,N-diethyl-4-{piperidin-4-ylidene[3-(propylamino)phenyl]methyl}benzamide,

- 13) 4-[[3-(cyclohexylamino)phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide,
- 14) 4-[[3-(cyclopentylamino)phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide,
- 5 15) 4-[[3-(cycloheptylamino)phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide,
- 16) 4-[[3-[cyclopentyl(methyl)amino]phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide,
- 17) 4-[[3-(benzoylamino)phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide,
- 10 18) N,N-diethyl-4-[[3-[(phenylacetyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide,
- 19) 4-[[3-[(cyclohexylcarbonyl)amino]phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide,
- 15 20) 4-[[3-[(cyclohexylacetyl)amino]phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide,
- 21) 4-[(3-[[2-chlorophenyl]acetyl]amino)phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide,
- 22) 4-[(3-[[3-chlorophenyl]acetyl]amino)phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide,
- 20 23) N,N-diethyl-4-[(3-[[5-methylthien-2-yl]acetyl]amino)phenyl](piperidin-4-ylidene)methyl]benzamide,
- 24) 4-[(3-[[5-chlorothien-2-yl]acetyl]amino)phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide,
- 25 25) N,N-diethyl-4-[(3-[[2S]-2-phenylpropanoyl]amino)phenyl](piperidin-4-ylidene)methyl]benzamide,
- 26) N,N-diethyl-4-[(3-[[2R]-2-phenylpropanoyl]amino)phenyl](piperidin-4-ylidene)methyl]benzamide,
- 27) N,N-diethyl-4-[(3-[[2S]-2-phenylbutanoyl]amino)phenyl](piperidin-4-ylidene)methyl]benzamide,
- 30 28) N,N-diethyl-4-[(3-[[2R]-2-phenylbutanoyl]amino)phenyl](piperidin-4-ylidene)methyl]benzamide,

- 29) 4-[[3-[benzoyl(methyl)amino]phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide,
- 30) 4-[[3-[(anilinocarbonyl)amino]phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide,
- 5 31) 4-[(3-[[benzylamino]carbonyl]amino)phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide,
- 32) N-{3-[[4-[(diethylamino)carbonyl]phenyl](piperidin-4-ylidene)methyl]phenyl}piperidine-1-carboxamide,
- 33) N,N-diethyl-4-[[3-[(phenylsulfonyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide,
- 10 34) 4-[[3-[(benzylsulfonyl)amino]phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide,
- 35) 4-[(3-anilinophenyl)(piperidin-4-ylidene)methyl]-N,N-diethylbenzamide,
- 36) N,N-diethyl-4-[[3-[methyl(phenyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide,
- 15 37) N,N-diethyl-4-[[3-[ethyl(phenyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide,
- 38) N,N-diethyl-4-[(3-[[1S]-1-phenylethyl]amino)phenyl](piperidin-4-ylidene)methyl]benzamide,
- 20 39) N,N-diethyl-4-[(3-[[1R]-1-phenylethyl]amino)phenyl](piperidin-4-ylidene)methyl]benzamide,
- 40) 4-[(3-[[1R]-1-cyclohexylethyl]amino)phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide,
- 41) 4-[(3-[[1S]-1-cyclohexylethyl]amino)phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide,
- 25 42) N,N-diethyl-4-[[3-[(1-methyl-1-phenylethyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide,
- 43) 4-[[3-[cyclohexyl(methyl)amino]phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide,
- 30 44) N,N-diethyl-4-[piperidin-4-ylidene(3-piperidin-1-ylphenyl)methyl]benzamide,
- 45) N,N-diethyl-4-[piperidin-4-ylidene(3-pyrrolidin-1-ylphenyl)methyl]benzamide,

- 46) N,N-diethyl-4-[[3-[(2-ethyl-1-oxobutyl)amino]phenyl]-4-piperidinyldenemethyl]-benzamide,
- 47) N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinyldenemethyl]phenyl]-1-methyl-1H-1,2,3-benzotriazole-5-carboxamide,
- 5 48) 6-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinyldenemethyl]phenyl]-3-pyridinecarboxamide,
- 49) N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinyldenemethyl]phenyl]-2-methoxy-benzamide,
- 50) N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinyldenemethyl]phenyl]-2-quinoxalinecarboxamide,
- 10 51) N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinyldenemethyl]phenyl]-2,5-difluoro-benzamide,
- 52) 3-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinyldenemethyl]phenyl]-2-thiophenecarboxamide,
- 15 53) N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinyldenemethyl]phenyl]-3-methyl-benzamide,
- 54) N,N-diethyl-4-[[3-[[[(methylphenylamino)carbonyl]amino]phenyl]-4-piperidinyldenemethyl]-benzamide, and pharmaceutically acceptable salts thereof.
- 20 12. A compound according to any one of claims 1-11 for use as a medicament.
13. The use of a compound according to any one of claims 1-11 in the manufacture of a medicament for the therapy of pain, anxiety or functional gastrointestinal disorders.
- 25 14. A pharmaceutical composition comprising a compound according to any one of claims 1-11 and a pharmaceutically acceptable carrier.
15. A method for the therapy of pain in a warm-blooded animal, comprising the
- 30 step of administering to said animal in need of such therapy a therapeutically effective amount of a compound according to any one of claims 1-11.

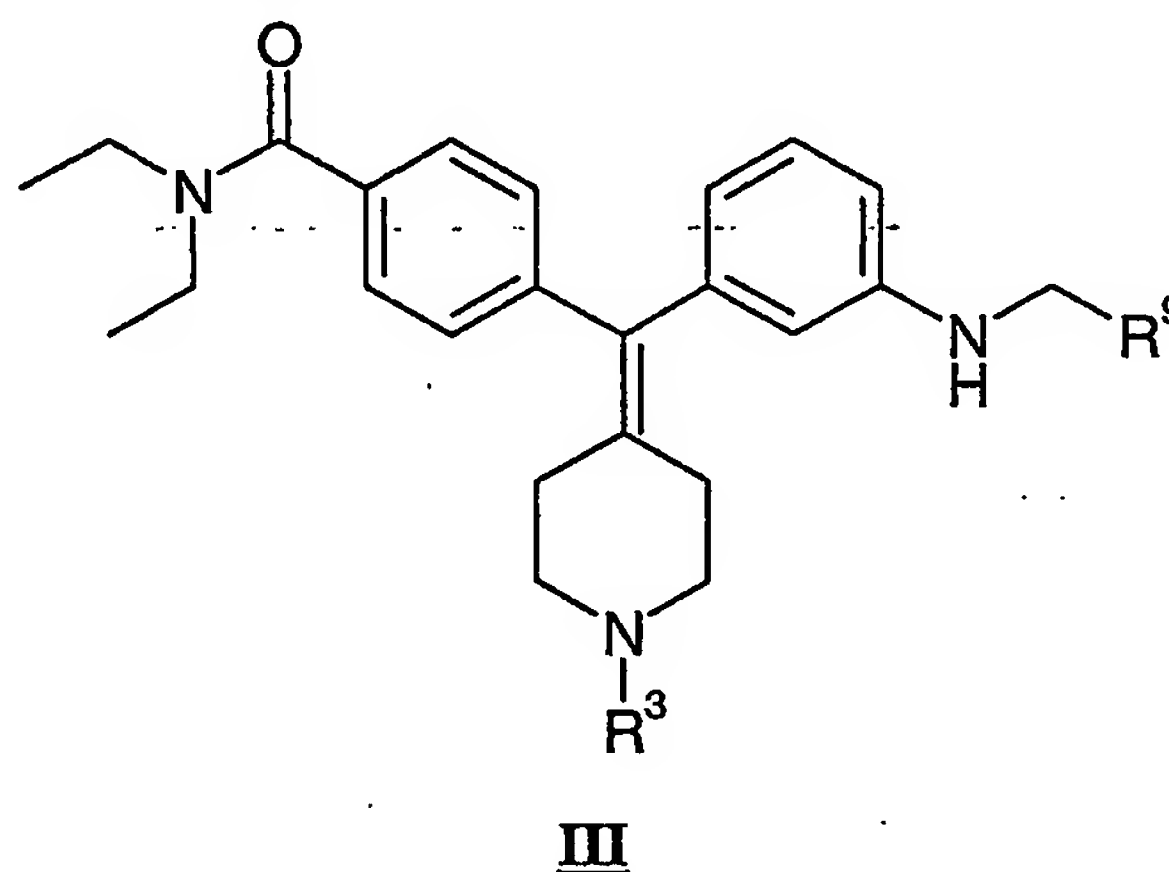


16. A method for the therapy of functional gastrointestinal disorders in a warm-blooded animal, comprising the step of administering to said animal in need of such therapy a therapeutically effective amount of a compound according to any one of claims 1-11.

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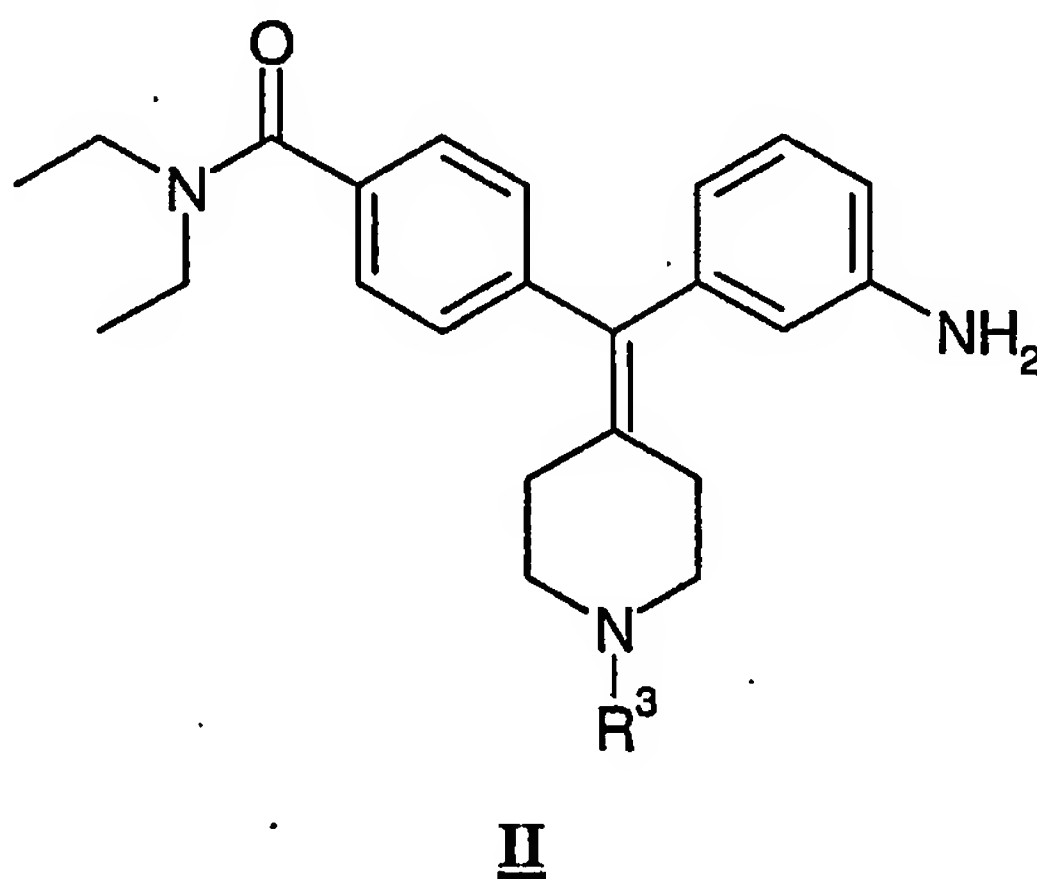
17. A method for the therapy of anxiety in a warm-blooded animal, comprising the step of administering to said animal in need of such therapy a therapeutically effective amount of a compound according to any one of claims 1-11.

10 18. A process for preparing a compound of formula III,



comprising:

reacting a compound of formula II,



15

with R<sup>9</sup>-CHO in the presence of a reducing agent to form the compound of formula III,

wherein



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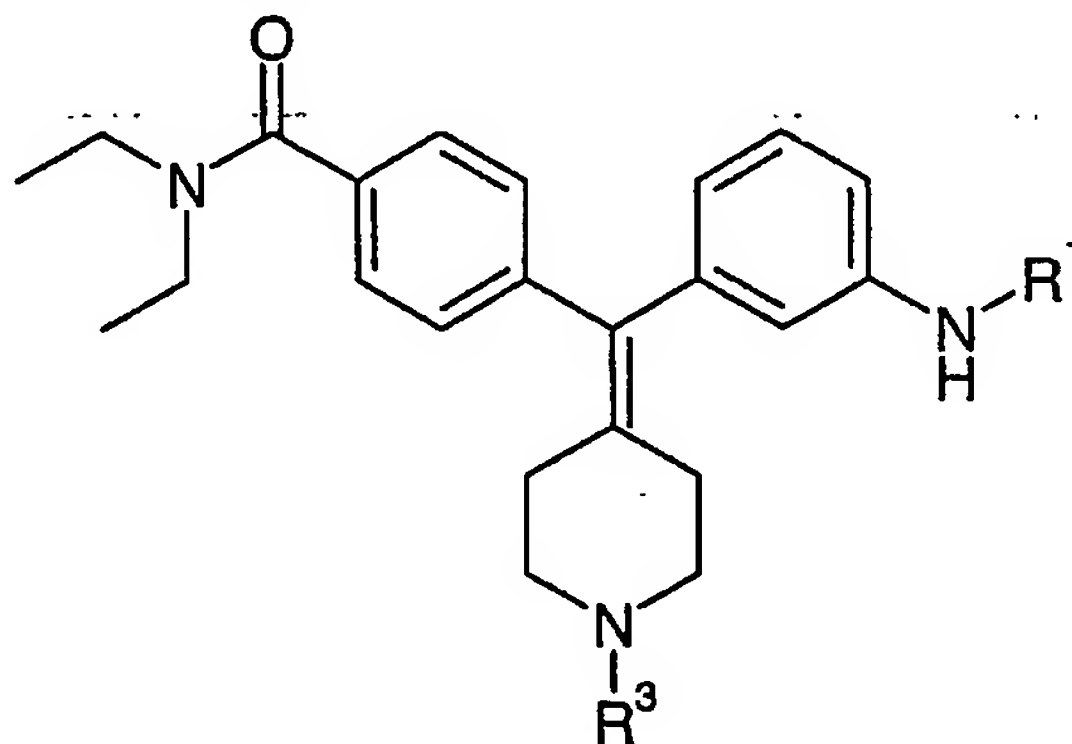
$R^9$  is selected from phenyl, pyridyl, thienyl, furyl, imidazolyl, triazolyl, pyrrolyl, thiazolyl, N-oxido-pyridyl, benzyl, pyridylmethyl, thienylmethyl, furylmethyl, imidazolylmethyl, triazolylmethyl, pyrrolylmethyl, thiazolylmethyl and N-oxido-pyridylmethyl, optionally substituted with one or more groups selected from

5  $C_{1-4}$ alkyl, halogen,  $-CF_3$ ,  $-OH$ ,  $C_{1-3}$ alkoxy, phenoxy and halogen; and

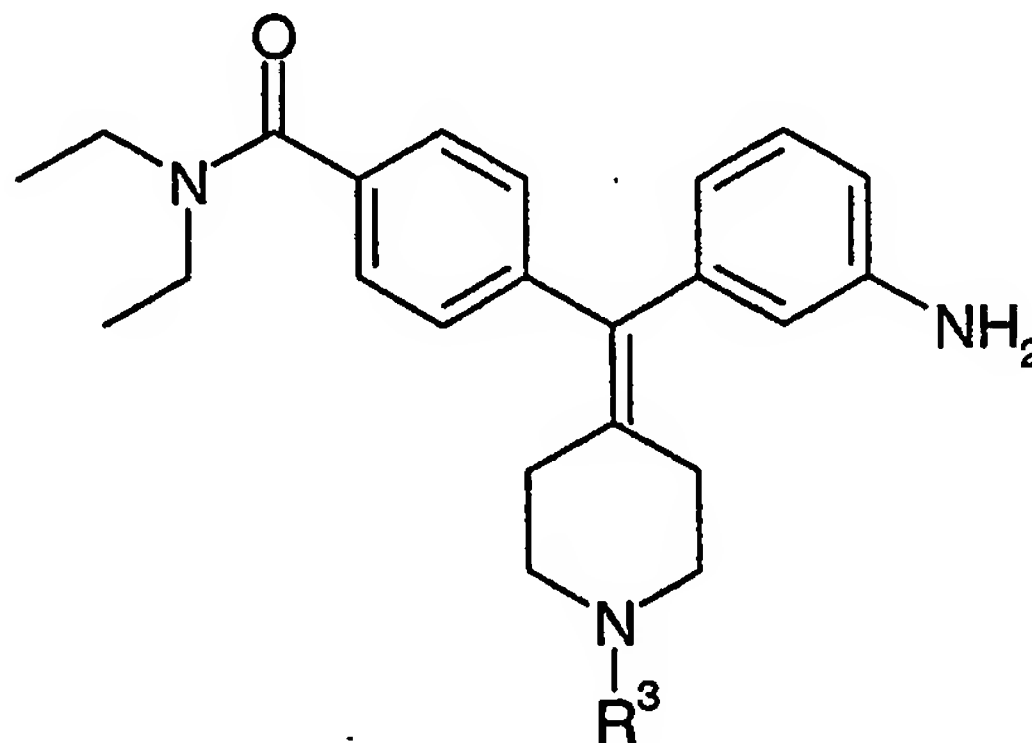
$R^3$  is selected from  $C_{1-6}$ alkyl-O-C(=O)-,  $C_{1-6}$ alkyl,  $C_{3-6}$ cycloalkyl, and  $C_{3-6}$ cycloalkyl- $C_{1-4}$ alkyl, wherein said  $C_{1-6}$ alkyl-O-C(=O)-,  $C_{1-6}$ alkyl,  $C_{3-6}$ cycloalkyl, and  $C_{3-6}$ cycloalkyl- $C_{1-4}$ alkyl are optionally substituted with one or more groups selected from  $C_{1-6}$ alkyl, halogenated  $C_{1-6}$ alkyl,  $-NO_2$ ,  $-CF_3$ ,  $C_{1-6}$ alkoxy and halogen.

10

19. A process for preparing a compound of formula IV,

IV

comprising: reacting a compound of formula II,

II

with  $R^1$ -X to form the compound of formula IV,

wherein

X is halogen;

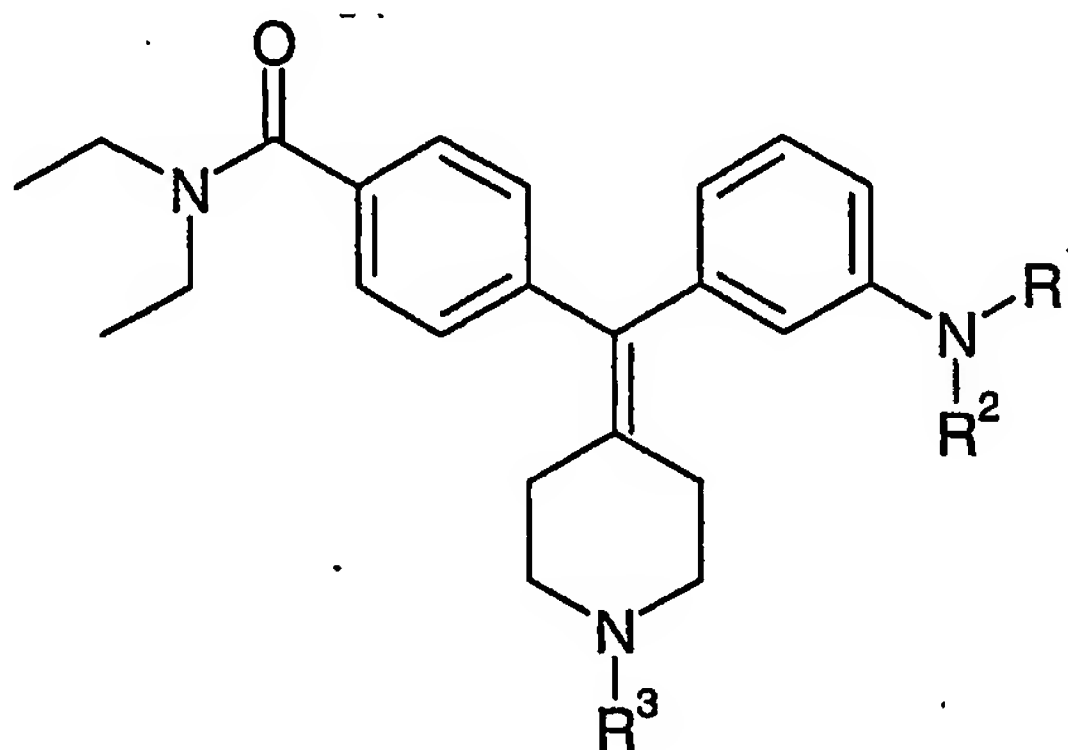
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87.

$R^1$  is selected from  $C_{3-6}$ alkyl,  $C_{6-10}$ aryl,  $C_{2-6}$ heteroaryl,  $C_{6-10}$ aryl- $C_{1-4}$ alkyl,  $C_{2-6}$ heteroaryl- $C_{1-4}$ alkyl,  $C_{3-10}$ cycloalkyl,  $C_{3-10}$ cycloalkyl- $C_{1-4}$ alkyl, wherein said  $C_{3-6}$ alkyl,  $C_{6-10}$ aryl,  $C_{2-6}$ heteroaryl,  $C_{6-10}$ aryl- $C_{1-4}$ alkyl,  $C_{2-6}$ heteroaryl- $C_{1-4}$ alkyl,  $C_{3-10}$ cycloalkyl,  $C_{3-10}$ cycloalkyl- $C_{1-4}$ alkyl are optionally substituted with one or more groups selected from  $C_{1-4}$ alkyl, halogen,  $-CF_3$ ,  $-OH$ ,  $C_{1-3}$ alkoxy, phenoxy, and halogen; and

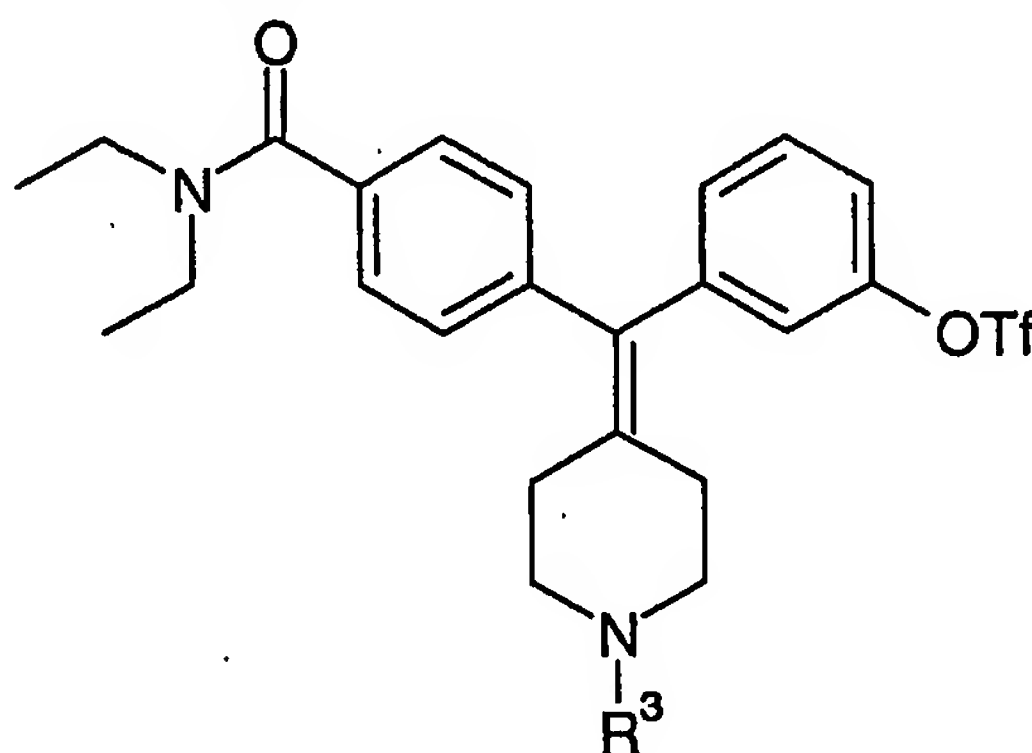
$R^3$  is selected from  $C_{1-6}$ alkyl- $O-C(=O)-$ ,  $C_{1-6}$ alkyl,  $C_{3-6}$ cycloalkyl, and  $C_{3-6}$ cycloalkyl- $C_{1-4}$ alkyl, wherein said  $C_{1-6}$ alkyl- $O-C(=O)-$ ,  $C_{1-6}$ alkyl,  $C_{3-6}$ cycloalkyl, and  $C_{3-6}$ cycloalkyl- $C_{1-4}$ alkyl are optionally substituted with one or more groups selected from  $C_{1-6}$ alkyl, halogenated  $C_{1-6}$ alkyl,  $-NO_2$ ,  $-CF_3$ ,  $C_{1-6}$ alkoxy and halogen.

20. A process for preparing a compound of formula I,



I

15 comprising: reacting a compound of formula V,



V

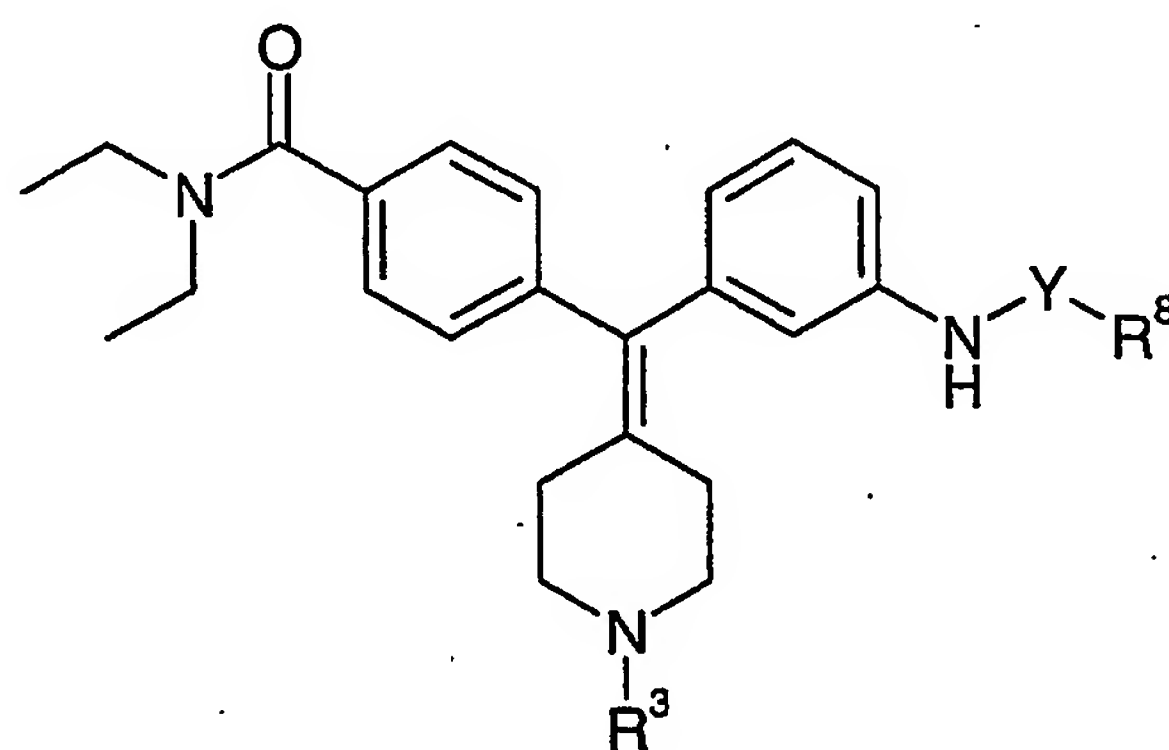
with  $R^1R^2NH$  to form the compound of formula I,  
wherein

$R^1$  is selected from  $C_{3-6}$ alkyl,  $C_{6-10}$ aryl,  $C_{2-6}$ heteroaryl,  $C_{6-10}$ aryl- $C_{1-4}$ alkyl,  $C_{2-6}$ heteroaryl- $C_{1-4}$ alkyl,  $C_{3-10}$ cycloalkyl,  $C_{3-10}$ cycloalkyl- $C_{1-4}$ alkyl, wherein said  $C_{3-6}$ alkyl,  $C_{6-10}$ aryl,  $C_{2-6}$ heteroaryl,  $C_{6-10}$ aryl- $C_{1-4}$ alkyl,  $C_{2-6}$ heteroaryl- $C_{1-4}$ alkyl,  $C_{3-10}$ cycloalkyl,  $C_{3-10}$ cycloalkyl- $C_{1-4}$ alkyl are optionally substituted with one or more groups selected from  $C_{1-4}$ alkyl, halogen,  $-CF_3$ ,  $-OH$ ,  $C_{1-3}$ alkoxy, phenoxy, and halogen;

$R^2$  is selected from  $-H$  and  $C_{1-6}$ alkyl optionally substituted with one or more groups selected from halogen,  $-CF_3$ ,  $-OH$ ,  $C_{1-3}$ alkoxy, and halogen, or  $R^1$  and  $R^2$  are  $C_{1-3}$ alkylene that together form a portion of a ring; and

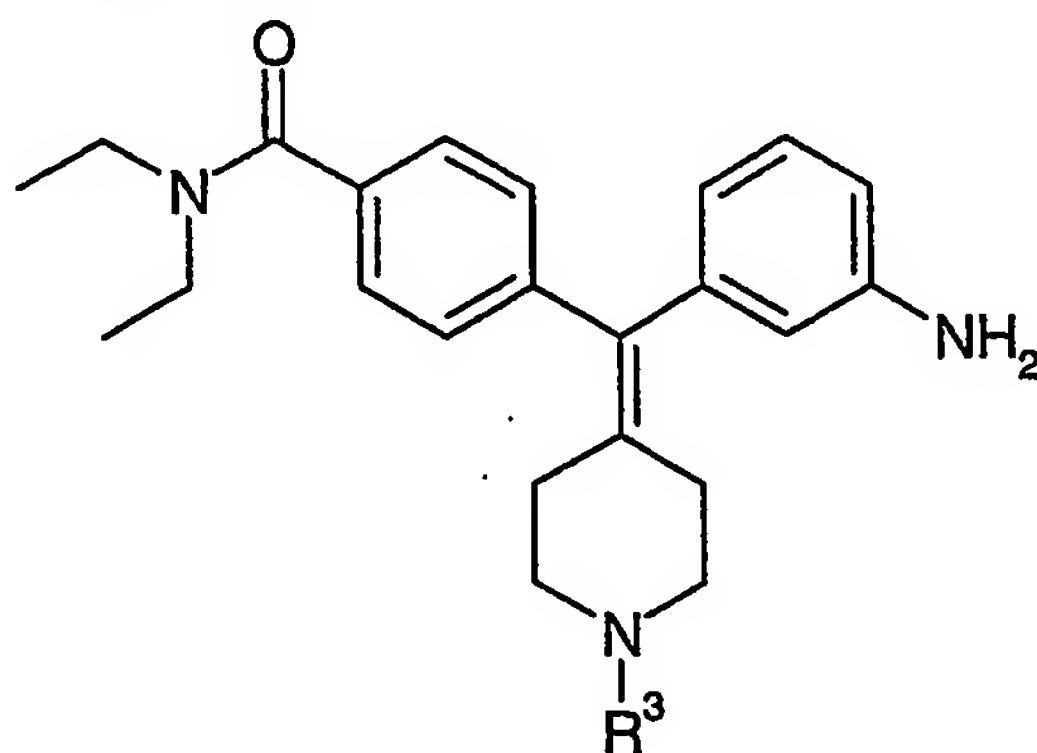
$R^3$  is selected from  $C_{1-6}$ alkyl- $O-C(=O)-$ ,  $C_{1-6}$ alkyl,  $C_{3-6}$ cycloalkyl, and  $C_{3-6}$ cycloalkyl- $C_{1-4}$ alkyl, wherein said  $C_{1-6}$ alkyl- $O-C(=O)-$ ,  $C_{1-6}$ alkyl,  $C_{3-6}$ cycloalkyl, and  $C_{3-6}$ cycloalkyl- $C_{1-4}$ alkyl are optionally substituted with one or more groups selected from  $C_{1-6}$ alkyl, halogenated  $C_{1-6}$ alkyl,  $-NO_2$ ,  $-CF_3$ ,  $C_{1-6}$ alkoxy and halogen.

21. A process for preparing a compound of formula VI,



VI

comprising: reacting a compound of formula VII,



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VII

with  $R^8$ -Y-X or  $R^8$ -Y-O-Y- $R^8$  to form the compound of formula VI:

wherein

X is halogen;

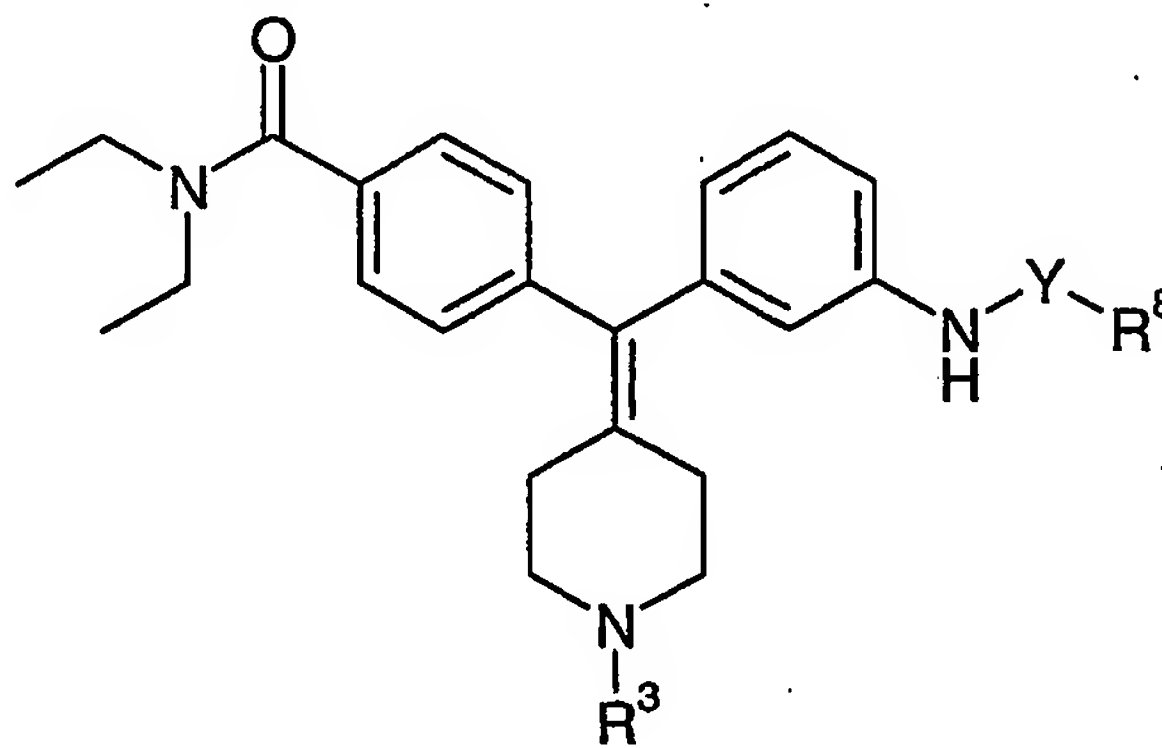
5 Y is selected from  $-C(=O)-$  and  $-S(=O)_2-$ ;

$R^8$  is selected from  $C_{3-6}$ alkyl,  $C_{6-10}$ aryl,  $C_{2-6}$ heteroaryl,  $C_{6-10}$ aryl- $C_{1-4}$ alkyl,  $C_{2-6}$ heteroaryl- $C_{1-4}$ alkyl,  $C_{3-10}$ cycloalkyl, and  $C_{3-10}$ cycloalkyl- $C_{1-4}$ alkyl; wherein said  $C_{3-6}$ alkyl,  $C_{6-10}$ aryl,  $C_{2-6}$ heteroaryl,  $C_{6-10}$ aryl- $C_{1-4}$ alkyl,  $C_{2-6}$ heteroaryl- $C_{1-4}$ alkyl,  $C_{3-10}$ cycloalkyl, and  $C_{3-10}$ cycloalkyl- $C_{1-4}$ alkyl are optionally substituted with  $C_{1-4}$ alkyl, halogen,  $-CF_3$ ,  $-OH$ ,  $C_{1-3}$ alkoxy, phenoxy, and halogen; and

$R^3$  is selected from  $C_{1-6}$ alkyl-O- $C(=O)-$ ,  $C_{1-6}$ alkyl,  $C_{3-6}$ cycloalkyl, and  $C_{3-6}$ cycloalkyl- $C_{1-4}$ alkyl, wherein said  $C_{1-6}$ alkyl-O- $C(=O)-$ ,  $C_{1-6}$ alkyl,  $C_{3-6}$ cycloalkyl, and  $C_{3-6}$ cycloalkyl- $C_{1-4}$ alkyl are optionally substituted with one or more groups selected from  $C_{1-6}$ alkyl, halogenated  $C_{1-6}$ alkyl,  $-NO_2$ ,  $-CF_3$ ,  $C_{1-6}$ alkoxy and halogen.

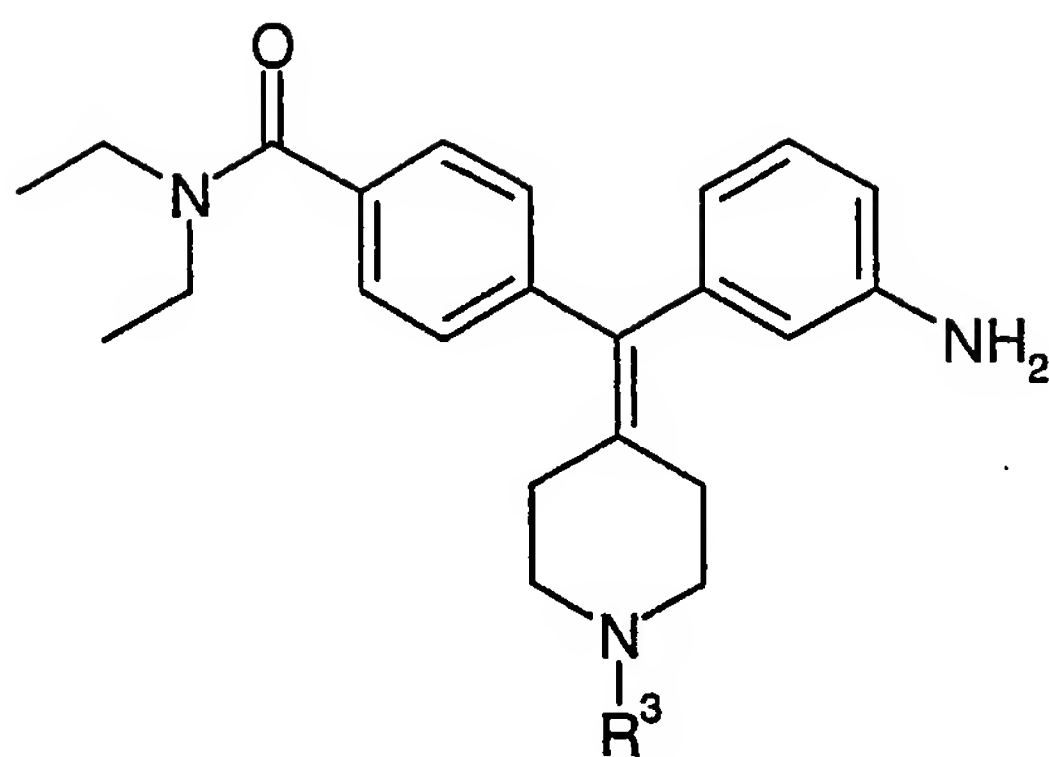
15

22. A process for preparing a compound of formula VIII,

VIII

comprising: reacting a compound of formula VII,

90



VII

with  $R^8$ -Z to form the compound of formula VIII:

wherein

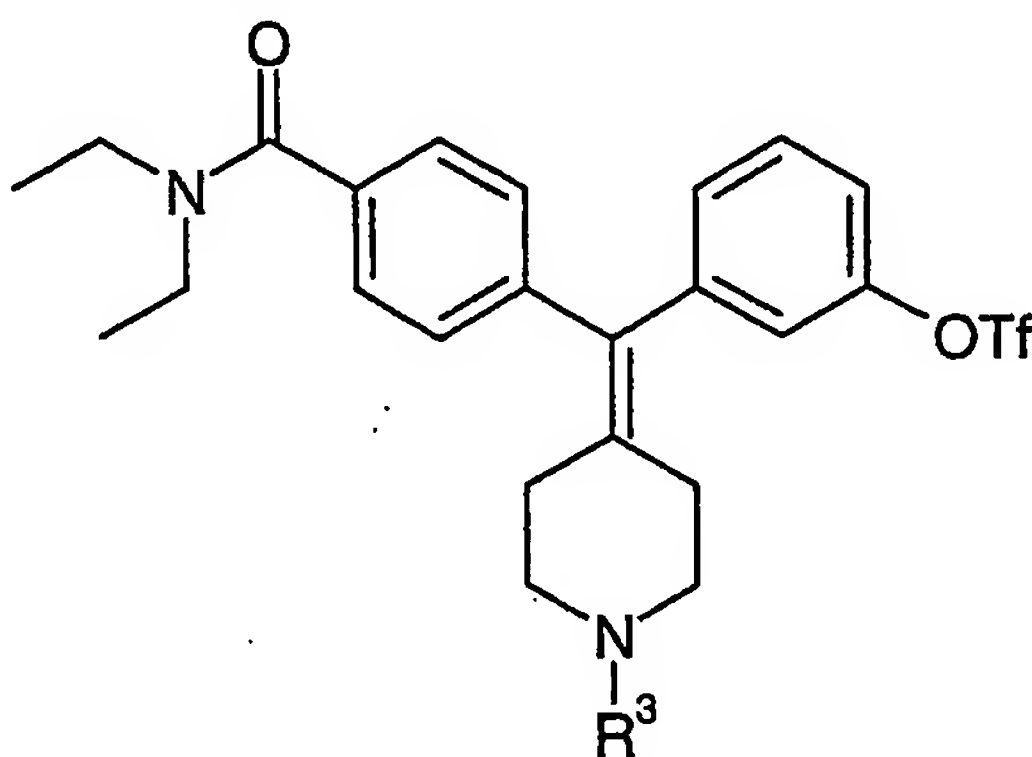
5           Z is selected from -NCO and -NCS;

          Y is selected from -C(=O)NH- and -C(=S)NH-;

$R^8$  is selected from  $C_{3-6}$ alkyl,  $C_{6-10}$ aryl,  $C_{2-6}$ heteroaryl,  $C_{6-10}$ aryl- $C_{1-4}$ alkyl,  $C_{2-6}$ heteroaryl- $C_{1-4}$ alkyl,  $C_{3-10}$ cycloalkyl, and  $C_{3-10}$ cycloalkyl- $C_{1-4}$ alkyl; wherein said  $C_{3-6}$ alkyl,  $C_{6-10}$ aryl,  $C_{2-6}$ heteroaryl,  $C_{6-10}$ aryl- $C_{1-4}$ alkyl,  $C_{2-6}$ heteroaryl- $C_{1-4}$ alkyl,  $C_{3-10}$ cycloalkyl, and  $C_{3-10}$ cycloalkyl- $C_{1-4}$ alkyl are optionally substituted with  $C_{1-4}$ alkyl, halogen, -CF<sub>3</sub>, -OH,  $C_{1-3}$ alkoxy, phenoxy, and halogen; and

$R^3$  is selected from  $C_{1-6}$ alkyl-O-C(=O)-,  $C_{1-6}$ alkyl,  $C_{3-6}$ cycloalkyl, and  $C_{3-6}$ cycloalkyl- $C_{1-4}$ alkyl, wherein said  $C_{1-6}$ alkyl-O-C(=O)-,  $C_{1-6}$ alkyl,  $C_{3-6}$ cycloalkyl, and  $C_{3-6}$ cycloalkyl- $C_{1-4}$ alkyl are optionally substituted with one or more groups selected from  $C_{1-6}$ alkyl, halogenated  $C_{1-6}$ alkyl, -NO<sub>2</sub>, -CF<sub>3</sub>,  $C_{1-6}$ alkoxy and halogen.

23.    A compound of formula V,



V

wherein

$R^3$  is selected from  $C_{1-6}$ alkyl-O-C(=O)-,  $C_{1-6}$ alkyl,  $C_{3-6}$ cycloalkyl, and  $C_{3-6}$ cycloalkyl- $C_{1-4}$ alkyl, wherein said  $C_{1-6}$ alkyl-O-C(=O)-,  $C_{1-6}$ alkyl,  $C_{3-6}$ cycloalkyl, and  $C_{3-6}$ cycloalkyl- $C_{1-4}$ alkyl are optionally substituted with one or more groups  
5 selected from  $C_{1-6}$ alkyl, halogenated  $C_{1-6}$ alkyl,  $-NO_2$ ,  $-CF_3$ ,  $C_{1-6}$ alkoxy and halogen.